# **EAST Search History**

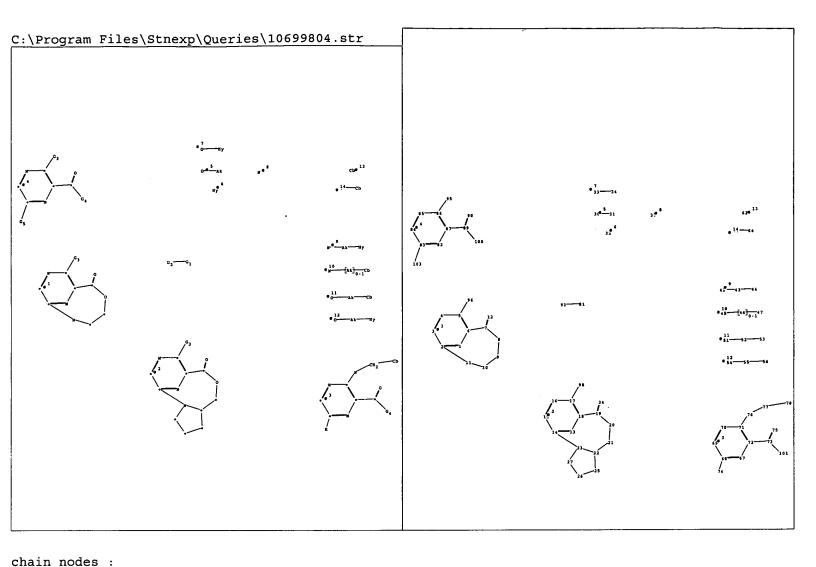
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L1	6203	((544/295,350,405,406,407) or (514/249,255.05,255.06)).CCLS.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2006/04/03 10:59

4/3/2006 10:59:57 AM Page 1

IDS Information

Content	Mailroom Date	Entry Number	IDS Review	Reviewer		
M844	11-04-2003	19	Y	04-03-2006 11:04:39 DRao		
M844	04-21-2005	23	V	04-03-2006 11:04:39 DRao		
M844	11-21-2005	24	V	04-03-2006 11:04:40 DRao		

UPDATE



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73
                                              47 51 52 53 54
                                                              55
                                                                  56
                                                                      62 63
                                                                             64
   12 24 30
             31
                 32
                     33
                        34
                            42
                               43
                                   44
                                       45
                                          46
   74 75 76
                                       96
                                          98
                                              100 101 103
             77
                 78
                     81
                        89
                            90
                               93
                                   95
ring nodes :
                                                                      23 25 26 27
             5 6 7 8
                                             16 17 18 19 20 21 22
   1 2 3 4
                        9 10 11 13
                                     14 15
   67 68 69 70 71 72 82 83 84 85 86 87
ring/chain nodes :
   37
chain bonds :
   5-96 7-12 17-98 19-24 30-31 33-34 42-43 43-44 45-46 46-47 51-52 52-53 54-55
   55-56 63-64 68-74 71-76 72-73 73-75 73-101 76-77 77-78 81-93 83-103 86-95 87-89
   89-90 89-100
ring bonds :
                     3-4 4-5 5-6 6-7 7-8 8-9 9-10 10-11 13-14 13-18 14-15 14-23
   1-2 1-6 2-3 2-11
   15-16 16-17 17-18
                     18-19 19-20 20-21 21-22 22-23
                                                     22-25
                                                           23-27
                                                                 25-26
                                                                       26-27 67-68
                                                           85-86 86-87
   67-72 68-69 69-70 70-71 71-72 82-83 82-87 83-84 84-85
exact/norm bonds :
                            8-9 9-10 10-11 14-23 17-98 18-19 19-20 19-24 20-21
   2-11 5-96 6-7 7-8 7-12
                            25-26 26-27 30-31 33-34 42-43 43-44 45-46 46-47 51-52
   21-22 22-23 22-25
                      23-27
                           73-75 73-101 81-93 83-103 86-95 89-90 89-100
   52-53 54-55 55-56 71-76
exact bonds :
   63-64 68-74 72-73 76-77 77-78 87-89
normalized bonds :
   1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18 67-68 67-72 68-69
   69-70 70-71 71-72 82-83 82-87 83-84 84-85 85-86 86-87
isolated ring systems :
```

G1:[\*1],[\*2],[\*3],[\*4]

containing 82 :

G2:CN, [\*5], [\*6], [\*7], [\*8]

```
G4:Ak, [*5], [*6], [*7], [*8], [*13], [*14]
G5: [*5], [*6], [*8], [*13]
Match level :
    1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
    12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom
    22:Atom 23:Atom 24:CLASS 25:Atom 26:Atom 27:Atom 30:CLASS 31:CLASS 32:Atom
    33:CLASS 34:Atom 37:CLASS 42:CLASS 43:CLASS 44:Atom 45:CLASS 46:CLASS 47:Atom
    51:CLASS 52:CLASS 53:Atom 54:CLASS 55:CLASS 56:Atom 62:Atom 63:CLASS 64:Atom
    67:Atom 68:Atom 69:Atom 70:Atom 71:Atom 72:Atom 73:CLASS 74:CLASS 75:CLASS
    76:CLASS 77:CLASS 78:Atom 81:CLASS 82:Atom 83:Atom 84:Atom 85:Atom 86:Atom 87:Atom
    89:CLASS 90:CLASS 93:CLASS 95:CLASS 96:CLASS 98:CLASS 100:CLASS 101:CLASS 103:CLASS
Generic attributes :
   47:
   Saturation
                        : Unsaturated
    53:
   Saturation
                        : Unsaturated
    62:
   Saturation
                        : Unsaturated
    64:
   Saturation
                        : Saturated
    78:
   Saturation
                        : Unsaturated
Element Count :
   Node 32: Limited
       N,N1
   Node 34: Limited
```

G3: [\*9], [\*10], [\*11], [\*12]

N,N1

Node 44: Limited N,N1

Node 56: Limited N,N1

Uploading C:\Program Files\Stnexp\Queries\10699804.str

G3 O N G4 G5	д-ну фак и <sub>8</sub>	C13 ∙Ф€Cb	/95 /8586/90 84_/8789 /8382 100 103	*3334 3*81 3*9 3*2	62)3 √6264
(N) (G3 (N) (O) (N) (N) (N) (N) (N) (N) (N) (N) (N) (N	G <u>7</u> G1	п <sup>9</sup> ану † <sup>9</sup> аксь † Чаксь † Закну	$ \begin{array}{c}                                     $	9381	4 <sup>9</sup> 21 31 4 4 <sup>1</sup> 54 64 7 4 <sup>1</sup> 3 15 25 3 4 <sup>1</sup> 3 55 56
	N O	N CH 2b O G4		/98 /1617/24 15_/18 <sup>1</sup> 9 1413 20 /2322 27 265	767 <sup>78</sup> 77071 775 69-7273 6867 101

```
chain nodes :
12 24 30 31 32 33
                    34 42 43 44
                                  45
                                      46
                                         47
                                             51 52 53 54 55
                                                               56 62
64 73 74 75 76 77
                    78 81
                           89
                               90
                                  93
                                      95
                                         96
                                            98 100 101 103
ring nodes :
1 2 3 4 5 6 7 8
                    9 10 11 13 14 15 16 17 18 19 20 21 22 23 25
26 27 67 68 69 70 71 72 82 83 84 85 86 87
ring/chain nodes :
37
chain bonds :
5-96 7-12 17-98 19-24 30-31 33-34 42-43 43-44 45-46 46-47 51-52 52-53
54-55 55-56 63-64 68-74 71-76 72-73 73-75 73-101 76-77 77-78 81-93
83-103 86-95 87-89 89-90 89-100
ring bonds :
1-2 1-6 2-3 2-11 3-4 4-5 5-6 6-7 7-8 8-9 9-10
                                                 10-11
                                                       13-14
                                                              13-18
                                                                    14-15
14-23 15-16 16-17 17-18 18-19 19-20 20-21 21-22
                                                 22-23
                                                       22-25
                                                              23-27
                                                                    25-26
      67-68 67-72 68-69 69-70 70-71 71-72 82-83 82-87
26-27
                                                       83-84 84-85
86-87
exact/norm bonds :
```

#### 10/699,804

```
2-11 5-96 6-7 7-8 7-12 8-9 9-10 10-11 14-23 17-98 18-19 19-20 19-24 20-21 21-22 22-23 22-25 23-27 25-26 26-27 30-31 33-34 42-43 43-44 45-46 46-47 51-52 52-53 54-55 55-56 71-76 73-75 73-101 81-93 83-103 86-95
89-90 89-100
exact bonds :
63-64 68-74 72-73 76-77 77-78 87-89
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18 67-68
67-72 68-69 69-70 70-71 71-72 82-83 82-87 83-84 84-85 85-86 86-87
isolated ring systems:
containing 82:
G1: [*1], [*2], [*3], [*4]
G2:CN,[*5],[*6],[*7],[*8]
G3: [*9], [*10], [*11], [*12]
G4:Ak, [*5], [*6], [*7], [*8], [*13], [*14]
G5: [*5], [*6], [*8], [*13]
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:CLASS 25:Atom 26:Atom 27:Atom 30:CLASS
31:CLASS 32:Atom 33:CLASS 34:Atom 37:CLASS 42:CLASS 43:CLASS 44:Atom
45:CLASS 46:CLASS 47:Atom 51:CLASS 52:CLASS 53:Atom 54:CLASS 55:CLASS
56:Atom 62:Atom 63:CLASS 64:Atom 67:Atom 68:Atom 70:Atom 71:Atom
72:Atom 73:CLASS 74:CLASS 75:CLASS 76:CLASS 77:CLASS 78:Atom 81:CLASS
82:Atom 83:Atom 84:Atom 85:Atom 86:Atom 87:Atom 89:CLASS 90:CLASS 93:CLASS
95:CLASS 96:CLASS 98:CLASS 100:CLASS 101:CLASS 103:CLASS
Generic attributes :
47:
Saturation
                         : Unsaturated
53:
Saturation
                        : Unsaturated
62:
Saturation
                        : Unsaturated
64:
Saturation
                        : Saturated
78:
Saturation
                         : Unsaturated
Element Count :
Node 32: Limited
     N,N1
Node 34: Limited
     N,N1
Node 44: Limited
     N,N1
Node 56: Limited
```

N,N1

STRUCTURE UPLOADED L1

=> d 11

L1 HAS NO ANSWERS

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss sam

SAMPLE SEARCH INITIATED 07:53:39 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 1687 TO ITERATE

100.0% PROCESSED 1687 ITERATIONS 2 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\* BATCH \*\*COMPLETE\*\*

31277 TO 36203

PROJECTED ITERATIONS: PROJECTED ANSWERS: 2 TO 124

2 SEA SSS SAM L1 L2

=> => s l1 sss ful

FULL SEARCH INITIATED 08:02:23 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 32898 TO ITERATE

32898 ITERATIONS 29 ANSWERS 100.0% PROCESSED

SEARCH TIME: 00.00.01

L3 29 SEA SSS FUL L1

=> => s 13

L4 9 L3

=> d 14 1-9 bib,ab,hitstr

## 10/699,804

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:630607 CAPLUS

DN 144:221542

TI Photoluminescence of some indolylpyrazines

AU Tarkhov, L. I.; Potemkin, V. A.; Kovalev, I. S.; Shul'gin, B. V.

CS GOU VPO Ural. Gos. Tekh. Univ.-UPI, Yekaterinburg, Russia

SO Materialovedenie (2005), (4), 16-22 CODEN: MATEC5

PB 000 Nauka i Tekhnologii

DT Journal

LA Russian

AB The photoluminescence of 20 indolylpyrazine derivs. was studied exptl. and theor. using a BiS algorithm. The relation between the mol. structure and the luminescent wavelength was well predicted by the calcns. and was in good agreement with the exptl. data.

IT 327035-59-6 695207-61-5 695219-42-2 875932-50-6 875932-51-7 875932-59-5 875932-60-8 875932-61-9

RL: MOA (Modifier or additive use); PRP (Properties); USES (Uses) (photoluminescence of some indolylpyrazines)

RN 327035-59-6 CAPLUS

CN Pyrazinecarboxylic acid, 3-(benzoylamino)-6-(4-chlorophenyl)-5-(1H-indol-3-yl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 695207-61-5 CAPLUS

CN Pyrazinecarboxylic acid, 3-(benzoylamino)-5-(1-methyl-1H-indol-3-yl)-6-(4-methylphenyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 695219-42-2 CAPLUS

CN Pyrazinecarboxylic acid, 3-(benzoylamino)-5-(1-methyl-1H-indol-3-yl)-6-(2-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 875932-50-6 CAPLUS

CN Pyrazinecarboxylic acid, 3-(benzoylamino)-5-(1H-indol-3-yl)-6-(4-methylphenyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 875932-51-7 CAPLUS

CN Pyrazinecarboxylic acid, 3-(benzoylamino)-5-(2-methyl-1H-indol-3-yl)-6-(4-methylphenyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 875932-59-5 CAPLUS

CN Pyrazinecarboxylic acid, 3-(benzoylamino)-6-(3,4-difluorophenyl)-5-(1H-indol-3-yl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 875932-60-8 CAPLUS

CN Pyrazinecarboxylic acid, 3-(benzoylamino)-6-(4-fluorophenyl)-5-(2-methyl-1H-indol-3-yl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 875932-61-9 CAPLUS

CN Pyrazinecarboxylic acid, 3-(benzoylamino)-6-(4-fluorophenyl)-5-(1H-indol-3-yl)-, ethyl ester (9CI) (CA INDEX NAME)

```
ANSWER 2 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
L4
     2004:589247 CAPLUS
AN
     141:140463
DN
     Preparation of heterocyclic compounds as selective phosphodiesterase V
ΤI
     inhibitors
     Yamada, Koichiro; Matsuki, Kenji; Omori, Kenji; Kikkawa, Kohei
IN
PA
     U.S. Pat. Appl. Publ., 116 pp., Cont.-in-part of U.S. Ser. No. 258,545.
SO
     CODEN: USXXCO
DT
     Patent
     English
                                                                                   Appl.
LΑ
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                                                                        DATE
     PATENT NO.
                          KTND
                                  DATE
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                                                                        20031104
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                           A2
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              SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
              YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
              BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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     US 2003229089
                           A1
                                  20031211
                                               US 2002-258545
PRAI JP 2000-130371
                           Α
                                  20000428
                                                             (No ODP)
     JP 2000-277652
                           Α
                                  20000913
     WO 2001-JP2034
                           W
                                  20010315
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                                  20021025
     US 2002-258545
                                  19990916
     JP 1999-261852
                           Α
os
     MARPAT 141:140463
     The title compds. (I) [X = CH, N; Y = NH, NR, S, O, CH:N, N:CH, N:N,
AΒ
     CH:CHC(:R5)N, CH:C(R5), N:C(R7); R1 = each (un)substituted lower alkoxy,
     amino, heterocyclyl containing N atom(s), HO, or heterocyclyloxy containing N
     atom(s), cyano; R2 = lower alkylamino or lower alkoxy each optionally
     substituted by an (un)substituted aryl, lower alkoxy group substituted by
     an aromatic heterocyclic ring containing N atom(s), lower alkylamino group
     substituted by a (un)substituted heterocyclic ring, (un)substituted
     arylamino; R3 = each (un)substituted aryl, heterocyclyl containing N atom(s),
     lower alkyl, lower alkoxy, lower cycloalkoxy, heterocyclyloxy containing N
     atom(s), or NH2; R4-R7 = each (un) substituted aryl, heterocyclyl containing N
     atom(s), lower alkoxy, or NH2; R4, R5, R6 or R7 may combine with R3 to
     form a lactone ring Q or Q1; when X = N, Y = CH:N, or N:CH, R2 = an amino
     group monosubstituted by an (un)substituted arylmethyl, and R3 =
     (un) substituted lower alkyl, amino monosubstituted by an (un) substituted
     heterocyclyl-lower alkyl containing N atom(s) in the ring, heterocyclylamino
     containing N atom(s) in the ring, or (un) substituted lower cycloalkylamino, R1
     = each (un)substituted lower alkoxy, amino, heterocyclyloxy containing N
     atom(s) in the ring, or cyano group] or pharmacol. acceptable salts
     thereof are prepared These compds. have excellent selective PDE V
     inhibitory activity and therefore, are useful as therapeutic or
     prophylactic drugs for treating various diseases due to functional
     disorders on cGMP-signaling, such as erectile dysfunction, pulmonary
     hypertension, and diabetic gastroparesis. Thus, 2-(hydroxymethyl)pyridine
     was treated with NaH in THF and etherified with 2-chloro-5-(3,4,5-
```

trimethoxyphenylcarbonyl)-4-(3-chloro-4-methoxybenzylamino)pyrimidine to give 2-(2-pyridylmethoxy)-5-(3,4,5-trimethoxyphenylcarbonyl)-4-(3-chloro-4-methoxybenzylamino)pyrimidine.

IT 330786-12-4P 372115-76-9P 372115-77-0P 726205-56-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic compds. as selective phosphodiesterase V inhibitors for treating various diseases due to functional disorders on cGMP-signaling)

RN 330786-12-4 CAPLUS

CN Pyrazinecarboxylic acid, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 372115-76-9 CAPLUS

CN Pyrazinecarboxylic acid, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-(2-pyridinylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)

RN 372115-77-0 CAPLUS

CN Pyrazinecarboxylic acid, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-, methyl ester (9CI) (CA INDEX NAME)

RN 726205-56-7 CAPLUS

CN Pyrazinecarboxamide, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(2-pyrimidinylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●x HCl

```
ANSWER 3 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
L4
         2003:434540 CAPLUS
AN
DN
         139:6891
         Preparation of substituted aryl pyrazine derivatives as CRF1 receptor
ΤI
         antagonists useful against anxiety disorders, depression and stress
         related disorders
         Verhoest, Patrick R.; Hoffman, Robert L.; Corbett, Jeffrey W.; Ennis,
IN
         Michael D.; Frank, Kristine E.; Fu, Jian-Min
PA
         Pharmacia & Upjohn Company, USA
         PCT Int. Appl., 271 pp.
SO
         CODEN: PIXXD2
DT
         Patent
         English
LΑ
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                                                           DATE
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                                                                                                                            DATE
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PΙ
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                        GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
                        LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
                        PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT,
                        TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
                RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
                        CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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                        LI, LU, MC, NL, PT, SE, SK, TR
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         US 2005049257
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PRAI US 2001-332052P
                                                Ρ
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                                                           20020221
         US 2002-358546P
                                                Ρ
         US 2002-388285P
                                                Ρ
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                                                Р
         US 2002-410378P
                                                           20020913
         US 2002-298193
                                                A1
                                                           20021115
         WO 2002-US33642
                                                W
                                                           20021115
OS
         MARPAT 139:6891
         Substituted aryl 1,4-pyrazine derivs. (shown as I; variables defined
         below; e.g. 5-(2,4-dichlorophenyl)-N-((1R,2S)-2-ethoxy-2,3-dihydro-1H-
         inden-1-yl)-3,6-diethylpyrazin-2-amine) and their use in treating anxiety
         disorders, depression and stress related disorders are disclosed.
         binding affinity of I for the corticotropin releasing factor type I
         receptor expressed as IC50 values generally ranges from .apprx.0.5 nM to
         .apprx.10 \mu M; no specific values are given. Although the methods of
         preparation are not claimed, 131 example prepns. of I and 190 example prepns.
         of intermediates are included. For I: X = -NR3R4, -OR3, -CR3R5R5,
         -C(0)R3, -S(0)mR3, -NR3C(0)R4, or -NR3S(0)mR4, m = 0-2; Ar = aryl,
         substituted aryl, heteroaryl, or substituted heteroaryl; R1, R2, and R5 =
         \label{eq:halogen} $$ halogen, -NO2, -CN, -Ra, -ORa, -S(O)mRa, -NRaRa, -C(O)NRaRa, -C(S)NRaRa, -S(O)mNRaRa, -NRaS(O)mRa, -NRaC(O)ORa, -OC(O)NRaRa, -NRaC(O)NRaRa, -NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)NRAC(O)
         -NRaC(S)NRaRa, -C(O)ORa, -C(S)ORa, or -OC(O)ORa. R3 and R4 = Ra or
```

substituted and/or unsubstituted heterocycloalkyl, heteroaryl, aryl, aryl

cycloalkyl, heteroaryl cycloalkyl, aryl heterocycloalkyl, or heteroaryl heterocycloalkyl; Ra = H, alkyl, cycloalkyl, haloalkyl, aryl, heteroaryl, or heterocycloalkyl (un)substituted with 1 to 5 of Rt, -ORt, -S(O)mRt, NRtRt, oxo, thione (:S), Ph, heteroaryl, or heterocycloalkyl; Rt = H, halogen, -NO2, -NH2, -OH, -SH, -CN, -C(O)NH2, - C(O)NHalkyl, -C(O)Nalkylalkyl, -Oalkyl, NHalkyl, Nalkylalkyl, -S(O)malkyl, SO2NH2, SO2NHalkyl and SO2Nalkylalkyl, alkyl, cycloalkyl, haloalkyl, Ph, benzyl, heteroaryl, or heterocycloalkyl; addnl. details including specifically excluded compds. are given in the claims. Compds. I are also claimed effective for screening ligands for CRF1 receptors and for detecting CRF1 receptors in tissues.

535940-58-0P, 6-(2,4-Dichlorophenyl)-3-[((1R,2S)-2-ethoxy-2,3-dihydro-1H-inden-1-yl)amino]-5-methoxypyrazine-2-carboxamide
RL: ARG (Analytical reagent use); BUU (Biological use, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate and receptor detection and ligand screening agent; preparation of substituted aryl pyrazine derivs. as CRF1 receptor antagonists useful against anxiety disorders, depression and stress related disorders)

RN 535940-58-0 CAPLUS

CN Pyrazinecarboxamide, 6-(2,4-dichlorophenyl)-3-[[(1R,2S)-2-ethoxy-2,3-dihydro-1H-inden-1-yl]amino]-5-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
L4
     2002:900736 CAPLUS
AN
DN
     138:4612
     Preparation of 2-heterocyclyl-4-aminopyrimidine-5-carboxamide and
ΤI
     5-heterocyclyl-3-aminopyrazine-2-carboxamide derivatives as selective
     inhibitors of phosphodiesterase IV
     Yamada, Koichiro; Matsumoto, Kenji; Omori, Kenji; Yoshikawa, Kohei
IN
PA
     Tanabe Seiyaku Co., Ltd., Japan
SO
     Jpn. Kokai Tokkyo Koho, 53 pp.
     CODEN: JKXXAF
DT
     Patent
     Japanese
LA
FAN.CNT 1
     PATENT NO.
                         KIND
                                DATE
                                            APPLICATION NO.
                                                                    DATE
                          A2
                                20021127
                                            JP 2002-61580
                                                                    20020307
     JP 2002338466
PRAI JP 2001-73385
                                20010315
                          Α
     MARPAT 138:4612
OS
     Disclosed is a pharmaceutical composition containing the title compound [I;
AΒ
     A = (un)substituted N-containing heterocyclyl; R1 = (un)substituted lower
     alkyl, NH-Q-R3, NH-R4; wherein R3 = (un) substituted N-containing heterocyclyl;
     Q = a single bond, lower alkylene; R4 = (un)substituted cycloalkyl; R2 =
     (un) substituted aryl; one of Y and Z is CH and the other is N] or
     pharmacol. acceptable salt thereof as the active ingredient for the
     prevention and/or treatment of impotence, pulmonary hypertension, or
     diabetic stomach failure or paralysis. Thus, a solution of 2.057 g
     2-methylthio-4-(3-chloro-4-methoxybenzylamino)-5-formylpyrimidine was
     treated with 1.468 g m-chloroperbenzoic acid (80%) at 0° for 30
     min, followed by successively adding 0.901 g L-prolinol and 1.33 mL \rm Et3N,
     and the resulting mixture was allowed to react at 0° for 1 h to give
     2.00 g (S)-2-(2-hydroxymethyl-1-pyrrolidinyl)-4-(3-chloro-4-
     methoxybenzylamino)-5-formylpyrimidine (II). A solution of 91.0 mg II in 20
     mL THF was reacted with 1.1 mL 1.10 M MeLi/Et2O at -78\,^{\circ} for 10 min
     to give, after treatment with aqueous NaHCO3 and extraction with EtOAc, an
EtOAc
     solution of crude (S)-2-(2-hydroxymethyl-1-pyrrolidinyl)-4-(3-chloro-4-
     methoxybenzylamino)-5-(1-hydroxyethyl)pyrimidine which was stirred with
     0.5 g MnO2 at room temperature overnight and then at refluxing temperature for
5 h to
     give (S)-2-(2-hydroxymethyl-1-pyrrolidinyl)-4-(3-chloro-4-
     methoxybenzylamino)-5-acetylpyrimidine (III). III and inhibitors
     N-(2-pyridylmethyl)-2-(1,2,3,4-tetrahydroisoquinolin-2-yl)-4-(3-chloro-4-
     methoxybenzylamino)pyrimidine-5-carboxamide showed IC50 of 5.18 and 0.0859
     μM, resp., against PDE IV isolated from a dog lung. III in vitro
     exhibited the relaxant activity on rabbit corpus cavernosum with ED50 of 1\,
     330784-43-5P, (S)-2-[N-(2-Pyrimidinylmethyl) carbamoyl]-3-((3-
TΤ
     chloro-4-methoxybenzyl)amino)-5-(2-hydroxymethyl-1-pyrrolidinyl)pyrazine
     330784-44-6P 330784-45-7P 330785-08-5P
     330785-09-6P 330785-10-9P 330785-11-0P
     330785-12-1P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (preparation of heterocyclylaminopyrimidinecarboxamide and
        heterocyclylaminopyrazinecarboxamide derivs. as selective inhibitors of
```

phosphodiesterase IV for prevention and/or treatment of diseases)

RN 330784-43-5 CAPLUS

CN Pyrazinecarboxamide, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino}-5-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(2-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330784-44-6 CAPLUS

CN Pyrazinecarboxamide, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-N-(2-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)

RN 330784-45-7 CAPLUS

CN Pyrazinecarboxamide, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330785-08-5 CAPLUS

CN Pyrazinecarboxamide, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-[(4-methyl-2-morpholinyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330785-09-6 CAPLUS

CN Pyrazinecarboxamide, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(trans-4-hydroxycyclohexyl)-5-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330785-10-9 CAPLUS

CN Pyrazinecarboxamide, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-N-(trans-4-hydroxycyclohexyl)-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 330785-11-0 CAPLUS

CN Pyrazinecarboxamide, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 330785-12-1 CAPLUS

CN Pyrazinecarboxamide, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-N-[(4-methyl-2-morpholinyl)methyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{OMe} \\ & \text{Cl} \\ & \text{CH}_2 \\ & \text{N} \\ & \text{N}$$

IT 330786-12-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heterocyclylaminopyrimidinecarboxamide and heterocyclylaminopyrazinecarboxamide derivs. as selective inhibitors of phosphodiesterase IV for prevention and/or treatment of diseases)

RN 330786-12-4 CAPLUS

CN Pyrazinecarboxylic acid, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

```
ANSWER 5 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
L4
AN
    2002:637504 CAPLUS
DN
     137:159373
    Tablets quickly disintegrated in oral cavity
ΤI
IN
     Sugimoto, Masaaki; Murakami, Hideki; Koida, Yoshiyuki
                                                              Common
PA
    Tanabe Seiyaku Co., Ltd., Japan
SO
     PCT Int. Appl., 49 pp.
     ÇÓDEN: PĽXXD2
    Patent
חת
LА
    Japanese
FAN.
    CNT 1
    PATENT NO.
                               DAŤE
                        KIND
                                           APPLICATION NO.
                        ____
                                           ______
                               20020822
PΙ
    WO 2002064119
                         A1
                                           WO 2002-JP1140
                                                                  20020212
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IĖ, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT,
                                MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT,
            LU, LV, MA, MD, MG,
            RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG,
            US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
            CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
            BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                           CA 2002-2437754
    CA 2437754
                               20020822
                                                                  20020212
                         AΑ
                                           JP 2002-33547
     JP 2002316923
                                                                  20020212
                         A2
                               20021031
                                           EP 2002-711460
    EP 1366760
                         A1
                               20031203
                                                                   20020212
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     CN 1527701
                         Α
                                20040908
                                            CN 2002-805070
                                                                   20020212
                                           NZ 527585
                               20050429
                         Α
    US 2004109890
                               20040610
                         A1
PRAI JP 2001-38343
                               20010215
                         Α
    WO 2002-JP1140
                               20020212
                         W
os
    MARPAT 137:159373
AB
    Disclosed are tablets which are quickly disintegrated in the oral cavity
    without giving any unpleasant taste in taking and quickly absorbed in the
    digestive tract thereby achieving the drug effect. These tablets contain
    a drug which is hardly soluble in water under neutral or alkaline conditions
but
    highly soluble in water under acidic conditions with giving an unpleasant
    taste. These tablets can be produced by blending the drug with a
    water-soluble acidic substance, coating one or both of them with a
water-soluble
     coating which is insol. in alc. solvents, further adding a water-soluble
    binder which is soluble in alc. solvents and water-soluble saccharide(s),
    molding the mixture under low pressure and then treating with an alc.
     solvent. Fast disintegrating tablets containing a cGMP-specific
     phosphodiesterase 5 (PDE-5) inhibitor 2-(5,6,7,8-tetrahydroimidazo[1,2-
     a]pyrazine-7-yl)-4-(3-chloro-4-methoxybenzylamino)-5-[N-(2-
     pyrimidinylmethyl)carbamoyl]pyrimidine were prepared
ΙT
     330784-43-5 330784-45-7
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (fast-disintegrating tablet compns. having taste masking
        characteristics)
RN
     330784-43-5 CAPLUS
     Pyrazinecarboxamide, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-[(2S)-2-
CN
     (hydroxymethyl)-1-pyrrolidinyl]-N-(2-pyrimidinylmethyl)- (9CI) (CA INDEX
```

NAME)

Absolute stereochemistry.

RN 330784-45-7 CAPLUS

CN Pyrazinecarboxamide, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

#### 10/699,804

```
L4
     ANSWER 6 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN
     2001:816647
                 CAPLUS
DN
     135:357948
ΤI
     Preparation of heterocyclic compounds as phosphodiesterase V (PDE V)
     inhibitors
     Yamada, Koichiro; Matsuki, Kenji; Omori, Kenji; Kikkawa, Kohei
IN
PA
     Tanabe Seiyaku Co., Ltd., Japan
SO
     PCT Int. Appl., 207 pp.
     CODEN: PIXXD2
DT
     Patent
     Japanese
LA
FAN.CNT 3
     PATENT NO.
                         KIND
                                DATE
                                            APPLICATION NO.
                                                                    DATE
PΙ
     WO 2001083460
                          A1
                                20011108
                                            WO 2001-JP2034
                                                                    20010315
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,
             HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
            YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     AU 2001041142
                          A5
                                20011112
                                            AU 2001-41142
                                                                    20010315
     CA 2407231
                                20021023
                                            CA 2001-2407231
                          AA
                                                                    20010315
     EP 1277741
                                20030122
                                            EP 2001-912373
                          A1
                                                                    20010315
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
    NZ 522217
                          Α
                                20040430
                                            NZ 2001-522217
                                                                    20010315
     CN 1657523
                          Α
                                20050824
                                            CN 2004-10098098
                                                                    20010315
    US 2003229089
                          A1
                                20031211
                                            US 2002-258545
                                                                    20021025
                                20040722 US 2003-699804
    US 2004142930
                          A1
                                                                    20031104
PRAI JP 2000-130371
                          Α
                                20000428
     JP 2000-277652
                          Α
                                20000913
    WO 2001-JP2034
                          W
                                20010315
    US 2002-258545
                          A2
                                20021025
    MARPAT 135:357948
OS
AB
     Compds. of the general formula (I) or pharmacol. acceptable salts thereof
     [wherein X is :CH or N; Y is NH, NR4, S, O, CH:N, N:CH, N:N, CH:CH, or the
     like; R1 is lower alkoxy, amino, a nitrogenous heterocyclic group, or a
     hydroxyl group substituted with a heterocyclic group (wherein each group
     may be substituted); R2 is either a lower alkylamino or lower alkoxy group
     which may be substituted with aryl, or a lower alkoxy group substituted
     with a nitrogenous aromatic heterocyclic group; and R3 is aryl, a nitrogenous
     heterocyclic group, lower alkyl, lower alkoxy, lower cycloalkoxy, a
     hydroxyl group substituted with a nitrogenous heterocyclic group, or amino
     (wherein each group may be substituted), or alternatively, R3 and the
     substituent of Y may be united to form a lactone ring] or pharmacol.
     acceptable salts thereof are prepared These compds. exhibit excellent PDE V
     inhibitory activity and are useful as preventive or therapeutic agents for
     various diseases due to dysfunction of the signal transduction through
     cGMP, in particular impotence, pulmonary hypertension, and diabetic renal
     failure paralysis (no data). Thus, 2-(hydroxymethyl)pyridine was treated
     wit NaH in THF at room temperature for 30 min and then condensed with
     2-chloro-5-(3,4,5-trimethoxyphenylcarbonyl)-4-(3-chloro-4-
     methoxybenzylamino)pyrimidine (preparation given) in THF at room temperature
```

for 1 h

to give 2-(2-pyridylmethoxy)-5-(3,4,5-trimethoxyphenylcarbonyl)-4-(3-chloro-4-methoxybenzylamino)pyrimidine.

IT 330784-43-5P 330786-12-4P 372115-76-9P 372115-77-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic compds. as phosphodiesterase V inhibitors preventive or therapeutic agents for various diseases due to dysfunction of signal transduction through cGMP)

RN 330784-43-5 CAPLUS

CN Pyrazinecarboxamide, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(2-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330786-12-4 CAPLUS

CN Pyrazinecarboxylic acid, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Weited.

RN 372115-76-9 CAPLUS

CN Pyrazinecarboxylic acid, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-(2-pyridinylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 372115-77-0 CAPLUS

CN Pyrazinecarboxylic acid, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-, methyl ester (9CI) (CA INDEX NAME)

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 7 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
L4
AN
     2001:208252 CAPLUS
     134:252363
DN
     Preparation and effect of nitrogen-containing-six-membered aromatic
TI
                                                                 Commen Jun
     compounds as PDE V activity inhibitors
     Yamada, Koichiro; Matsuki, Kenji; Omori, Kenji; Kikkawa, Kohei
IN
     Tanabe Seiyaku Co., Ltd., Japan
PA
SO
     PCT_Int., Appl., 91 pp.
     CODEN: PIXXD2
DT
     Patent
     Japanese
LA
FAN CNT 3
                                 DÁTE
                                              APPLICATION NO.
                                                                      DATE
     PATENT NO.
                          KIND
ΡI
     WO 2001019802
                           A1
                                 20010322
                                            1 WO 2000-JP6258
                                                                      20000913
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
             HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE,
             SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
             CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                              CA 2000-2383466
                                                                      20000913
     CA 2383466
                           AA
                                 20010322
                                                                      20000913
     AU 2000073118
                                 20010417
                                              AU 2000-73118
                           Α5
     AU 767558
                           B2
                                 20031113
                                                                      20000913
                                 20020618
                                              BR 2000-14526
     BR 2000014526
                           Α
                                 20020621
                                              TR 2002-200200701
                                                                      20000913
     TR 200200701
                           T2
     EP 1219609
                           A1
                                 20020703
                                              EP 2000-960979
                                                                      20000913
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL
                                              RU 2002-109792
                                                                      20000913
                                 20040727
     RU 2233273
                           C2
                                                                      20010810
     US 2003032647
                           A1
                                 20030213
                                              US 2001-925892
     us 6656935 XIO O D
                                 20031202
                           B2
     ZA 2002001499
                           Α
                                 20020902
                                              ZA 2002-1499
                                                                      20020222
     NO 2002001308
                           Α
                                 20020424
                                              NO 2002-1308
                                                                      20020315
                                                                      20020402
     BG 106566
                           Α
                                 20030228
                                              BG 2002-106566
                                                                      20030501
                                              US 2003-426884
     US 2003229095
                           A1
                                 20031211
     US 6797709 🗸
                           B2
                                 20040928
PRAI JP 1999-261852
                           Α
                                 19990916
     JP 2000-130371
                           Α
                                 20000428
     WO 2000-JP6258
                           W
                                 20000913
     US 2001-925892
                           A3
                                 20010810
OS
     MARPAT 134:252363
     Title compds. [I; A is an optionally substituted nitrogenous heterocyclic
AΒ
     group; R1 is optionally substituted lower alkyl, NHQR3 (wherein R3 is an
     optionally substituted nitrogenous heterocyclic group; and Q is lower
     alkylene or a single bond), or NHR4 (wherein R4 is optionally substituted
     cycloalkyl); R2 is optionally substituted aryl; and either of Y and Z is
     CH and the other is N], pharmacol. acceptable salts are prepared and are
     exhibiting an excellent selective inhibitory activity against PDE V and
     being useful as preventive or therapeutic drugs for erectile dysfunction
     (no data). Thus, the title compound II was prepared
IT
     330786-12-4P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
         (preparation and effect of heteroarom. compds. as PDE V activity inhibitors)
```

RN

330786-12-4 CAPLUS

CN Pyrazinecarboxylic acid, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 330784-43-5P 330784-44-6P 330784-45-7P 330785-08-5P 330785-09-6P 330785-10-9P 330785-11-0P 330785-12-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and effect of heteroarom. compds. as PDE V activity inhibitors)

RN 330784-43-5 CAPLUS

CN Pyrazinecarboxamide, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(2-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330784-44-6 CAPLUS

CN Pyrazinecarboxamide, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-N-(2-pyrimidinylmethyl)- (9CI) (CA

INDEX NAME)

RN 330784-45-7 CAPLUS

CN Pyrazinecarboxamide, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330785-08-5 CAPLUS

CN Pyrazinecarboxamide, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-[(4-methyl-2-morpholinyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330785-09-6 CAPLUS

CN Pyrazinecarboxamide, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(trans-4-hydroxycyclohexyl)-5-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330785-10-9 CAPLUS

CN Pyrazinecarboxamide, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-N-(trans-4-hydroxycyclohexyl)-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 330785-11-0 CAPLUS

CN Pyrazinecarboxamide, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 330785-12-1 CAPLUS

CN Pyrazinecarboxamide, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-N-[(4-methyl-2-morpholinyl)methyl]-(9CI) (CA INDEX NAME)

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

## 10/699,804

```
ANSWER 8 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
L4
     2000:900621 CAPLUS
AN
DN
     134:56683
     Preparation of nitrogen-containing heterocyclic derivatives as remedies
TТ
     for complications of diabetes based on protein kinase C inhibition
     Suzuki, Takayuki; Onda, Kenichi; Murakami, Takeshi; Negoro, Kenji; Yahiro,
IN
     Kiyoshi; Maruyama, Tatsuya; Shimaya, Akiyoshi; Ohta, Mitsuaki
     Yamanouchi Pharmaceutical Co., Ltd., Japan
PA
so
     PCT Int. Appl., 62 pp.
     CODEN: PIXXD2
     Patent
DT
LΑ
     Japanese
FAN.CNT 1
     PATENT NO.
                          KIND
                                 DATE
                                              APPLICATION NO.
                                                                      DATE
     WO 2000076980
                          A1
                                 20001221
                                           WO 2000-JP3768
                                                                      20000609
PΙ
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,
             CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
             ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
             LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,
             SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,
             ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
             CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRAI JP 1999-163344
                           Α
                                 19990610
     JP 1999-165217
                           Α
                                 19990611
os
     MARPAT 134:56683
AB
     The title compds. I [Y and X together are N:N, C(R4):N, etc.; D =
     (un) substituted aryl, etc.; R1 = (un) substituted heteroaryl, etc.; A1, A2
     = (un) substituted alkylene, etc.; R2, R3, R4 = H, OH, etc.; or R1A2NR3 = (un) substituted heteroaryl] are prepared The title compound II in vitro
     showed IC50 of 0.0049 \mumol against protein kinase C.
IT
     313338-72-6P 313338-93-1P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of nitrogen-containing heterocyclic derivs. as remedies for
        complications of diabetes)
RN
     313338-72-6 CAPLUS
     Pyrazinecarboxamide, 5-[[2-(dimethylamino)ethyl]amino]-3-
CN
     [(phenylmethyl)amino] - (9CI) (CA INDEX NAME)
```

RN 313338-93-1 CAPLUS
CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)ethyl]amino]-6-phenyl-3(phenylamino)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me}_2\text{N}-\text{CH}_2-\text{CH}_2-\text{NH} \\ \hline \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{O} \end{array}$$

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

## 10/699,804

- L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
- AN 2000:876627 CAPLUS
- DN 134:193276
- TI Direct introduction of indoles into 2-aminopyrazine 1-oxides
- AU Kovalev, Igor S.; Kozhevnikov, Dmitry N.; Rusinov, Vladimir L.; Chupakhin, Oleg N.; Raikov, Dmitry V.; Pustovarov, Vladimir A.; Shul'gin, Boris V.
- CS Department of Organic Chemistry, Urals State Technical University, Yekaterinburg, 620002, Russia
- SO Mendeleev Communications (2000), (6), 229-230 CODEN: MENCEX; ISSN: 0959-9436
- PB Russian Academy of Sciences
- DT Journal
- LA English
- OS CASREACT 134:193276
- AB The synthesis of 6-indol-3-yl-2-pyrazinamines, analogs of the bioluminescent natural product Cypridina etioluciferamine, with enhanced photoluminescent properties, is reported.
- IT 327035-59-6P 327035-62-1P 327035-65-4P
  RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and photoluminescence)
- RN 327035-59-6 CAPLUS
- CN Pyrazinecarboxylic acid, 3-(benzoylamino)-6-(4-chlorophenyl)-5-(1H-indol-3-yl)-, ethyl ester (9CI) (CA INDEX NAME)

- RN 327035-62-1 CAPLUS
- CN Pyrazinecarboxylic acid, 3-(benzoylamino)-6-(4-chlorophenyl)-5-(1-methyl-1H-indol-3-yl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 327035-65-4 CAPLUS

CN Pyrazinecarboxylic acid, 3-(benzoylamino)-6-(4-chlorophenyl)-5-(2-methyl-1H-indol-3-yl)-, ethyl ester (9CI) (CA INDEX NAME)

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

# 10/699,804

#### => => d his

(FILE 'HOME' ENTERED AT 07:52:54 ON 03 APR 2006)

FILE 'REGISTRY' ENTERED AT 07:52:59 ON 03 APR 2006

L1 STRUCTURE UPLOADED

L2 2 S L1 SSS SAM

L3 29 S L1 SSS FUL

FILE 'CAPLUS' ENTERED AT 08:02:30 ON 03 APR 2006

L4 9 S L3

FILE 'CAOLD' ENTERED AT 08:02:58 ON 03 APR 2006

=> s 13

L5 0 L3

=> log y

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 0.44 220.64

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE 0.00 -6.75

STN INTERNATIONAL LOGOFF AT 08:03:10 ON 03 APR 2006